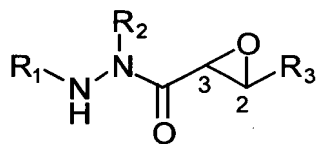


What is claimed is:

1. A compound of the formula:



5 wherein,

$R_1$  is selected from the group consisting of  $M_1$ ,  $M_2-AA_1$ ,  $M_2-AA_2-AA_1$ , and  $M_2-AA_3-AA_2-AA_1$ ;

$M_1$  is selected from the group consisting of  $NH_2-CO-$ ,  $NH_2-CS-$ ,  $NH_2-SO_2-$ ,  $X-NH-CO-$ ,  $X_2N-CO-$ ,  $X-NH-CS-$ ,  $X_2N-CS-$ ,  $X-NH-SO_2-$ ,  $X_2N-SO_2-$ ,  $X-CO-$ ,  $X-CS-$ ,  
10 ,  $Y-SO_2-$ ,  $Y-O-CO-$ ,  $Y-O-CS-$ , phenyl substituted with K, phenyl disubstituted with K, and morpholine- $CO-$ ;

$M_2$  is selected from the group consisting of H,  $NH_2-CO-$ ,  $NH_2-CS-$ ,  $NH_2-SO_2-$ ,  $X-NH-CO-$ ,  $X_2N-CO-$ ,  $X-NH-CS-$ ,  $X_2N-CS-$ ,  $X-NH-SO_2-$ ,  $X_2N-SO_2-$ ,  $X-CO-$ ,  $X-CS-$ ,  $Y-SO_2-$ ,  $Y-O-CO-$ ,  $Y-O-CS-$ , phenyl, phenyl substituted with K, phenyl  
15 disubstituted with K, and morpholine- $CO-$ ;

X is selected from the group consisting of H,  $C_{1-10}$  alkyl,  $C_{3-15}$  cyclized alkyl,  $C_{1-10}$  fluoroalkyl,  $C_{1-10}$  alkyl substituted with J,  $C_{1-10}$  fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl  
20 disubstituted with K, naphthyl trisubstituted with K,  $C_{1-10}$  fluoroalkyl with an attached phenyl group,  $C_{1-10}$  alkyl with an attached phenyl group,  $C_{1-10}$  alkyl with two

attached phenyl groups, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with two attached phenyl groups substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, biotinyl, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl, C<sub>1-10</sub> fluoroalkyl, C<sub>1-10</sub> alkyl substituted with J, C<sub>1-10</sub> fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl disubstituted with K, naphthyl trisubstituted with K, C<sub>1-10</sub> fluoroalkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with two attached phenyl groups, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with two attached phenyl groups substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, biotinyl, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of halogen, CO<sub>2</sub>H, OH, CN, NO<sub>2</sub>, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, C<sub>2-12</sub> dialkylamino, C<sub>1-10</sub> alkyl-O-CO-, C<sub>1-10</sub> alkyl-O-CO-NH-, and C<sub>1-10</sub> alkyl-S-;

K is selected from the group consisting of halogen, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, phenoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub>

alkylamino, C<sub>2-12</sub> dialkylamino, C<sub>1-10</sub> acyl, and C<sub>1-10</sub> alkoxy-CO-, and C<sub>1-10</sub> alkyl-S-;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinecarboxylic acid, pipercolinic acid (2-piperidine carboxylic acid), O-methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH<sub>2</sub>-CH(CH<sub>2</sub>CHEt<sub>2</sub>)-CO<sub>2</sub>H, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group, and hexafluoroleucine;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with Q, C<sub>1-10</sub> alkyl substituted with phenyl, C<sub>1-10</sub> alkyl with an attached phenyl substituted with K, C<sub>1-10</sub> alkyl substituted with naphthyl, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with K, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl substituted with CONH<sub>2</sub>, C<sub>1-10</sub> alkyl substituted with CONHR<sub>4</sub>, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>R<sub>4</sub>,

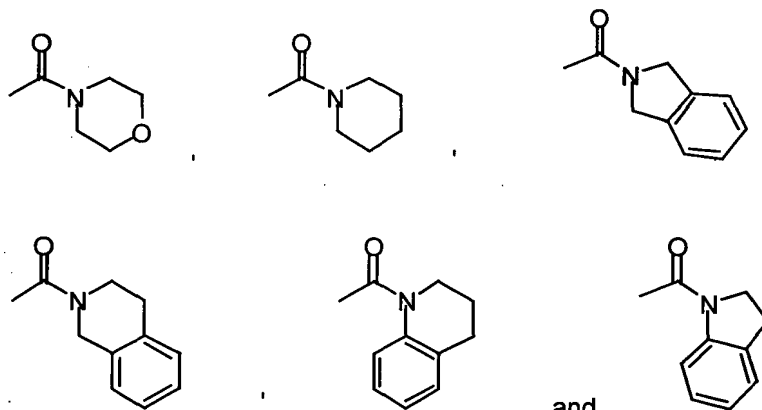
CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, CH<sub>2</sub>-3-indolyl, CH<sub>2</sub>-2-thienyl, CH<sub>2</sub>-2-furyl, CH<sub>2</sub>-3-furyl, CH<sub>2</sub>-2-imidazolyl, C<sub>1-10</sub> alkyl substituted with G, C<sub>1-10</sub> alkyl with an attached phenyl substituted with G, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G;

- 5            R<sub>4</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl substituted with phenyl;

Q is selected independently from the group consisting of C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl-S-, C<sub>1-10</sub> alkoxy substituted with phenyl, and C<sub>1-10</sub> alkyl-S- substituted with phenyl;

- 10           G is selected independently from the group consisting of amidino (-C(=NH)NH<sub>2</sub>), guanidino (-NHC(=NH)NH<sub>2</sub>), isothiureido (-S-C(=NH)NH<sub>2</sub>), amino, C<sub>1-6</sub> alkylamino, C<sub>2-12</sub> dialkylamino, and imidazolyl;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, CO-AA<sub>4</sub>-T,



15

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>3-15</sub>

cyclized alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>3-15</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, C<sub>3-15</sub> cyclized alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group trisubstituted with K, and C<sub>3-15</sub> cyclized alkyl with an attached naphthyl group substituted with K;

10 T is selected independently from the group consisting of OH, OR<sub>8</sub>, NHR<sub>9</sub>, and NR<sub>8</sub>R<sub>9</sub>;

AA<sub>4</sub> is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, 15 phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinedicarboxylic acid, pipercolinic acid (2-piperidine carboxylic acid), O- 20 methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH<sub>2</sub>-CH(CH<sub>2</sub>CHEt<sub>2</sub>)-CO<sub>2</sub>H, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-

cyclopropyl)-CO<sub>2</sub>H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group, and hexafluoroleucine;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a morpholine [-N(CH<sub>2</sub>CH<sub>2</sub>)O] ring attached through nitrogen to the alkyl, C<sub>1-10</sub> alkyl with a piperidine ring attached through nitrogen to the alkyl, C<sub>1-10</sub> alkyl with a pyrrolidine ring attached through nitrogen to the alkyl, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl;  
or a pharmaceutically acceptable salt, pharmaceutically derivative, hydrate or solvate thereof.

2. A compound according to claim 1 wherein:

R<sub>1</sub> is selected from the group consisting of M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

M<sub>2</sub> is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-,  
5 and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

20 J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;



K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl substituted with CONH<sub>2</sub>, C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>R<sub>4</sub>;

R<sub>4</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl substituted with phenyl;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, and CO-AA<sub>4</sub>-T;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, and C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K.

AA<sub>4</sub> is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with

an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

3. A compound according to claim 2 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

5 AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine,  
10 phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

15 AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine,  
20 norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl.

4. A compound according to claim 1 wherein  
wherein,

R<sub>1</sub> is selected from the group consisting of M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and  
M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

5 M<sub>2</sub> is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-,  
and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl  
substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted  
with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached  
10 phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub>  
alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached  
phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on  
the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted  
15 with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub>  
alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group  
substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an  
attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy  
group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the  
20 phenoxy group;

J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy,  
C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;

K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with Q, C<sub>1-10</sub> alkyl substituted with phenyl, C<sub>1-10</sub> alkyl with an attached phenyl substituted with K, C<sub>1-10</sub> alkyl substituted with naphthyl, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with K, and phenyl;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>, CONR<sub>6</sub>R<sub>7</sub>, and CO-AA<sub>4</sub>-T;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, and C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K.

AA<sub>4</sub> is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with



an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;  
5 alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

5. A compound according to claim 4 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

5 AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine,  
10 phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

15 AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the  $\alpha$ -carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine,  
20 norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl.

6. A compound according to claim 1 wherein

R<sub>1</sub> is selected from the group consisting of M<sub>2</sub>-AA<sub>1</sub>, M<sub>2</sub>-AA<sub>2</sub>-AA<sub>1</sub>, and M<sub>2</sub>-AA<sub>3</sub>-AA<sub>2</sub>-AA<sub>1</sub>;

M<sub>2</sub> is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO<sub>2</sub>-,  
5 and Y-O-CO-;

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached naphthyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenoxy group, and C<sub>1-10</sub> alkyl with an attached phenoxy group substituted with K on the phenoxy group;

20 J is selected from the group consisting of CO<sub>2</sub>H, OH, NH<sub>2</sub>, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkylamino, and C<sub>1-10</sub> alkyl-O-CO-;

K is selected from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> perfluoroalkyl, C<sub>1-10</sub> alkoxy, NO<sub>2</sub>, CN, OH, CO<sub>2</sub>H, amino, C<sub>1-10</sub> alkylamino;

AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclohexyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopentyl)-CO<sub>2</sub>H, NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclobutyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-cyclopropyl)-CO<sub>2</sub>H;

R<sub>2</sub> is selected from the group consisting of C<sub>1-10</sub> alkyl substituted with G, C<sub>1-10</sub> alkyl with an attached phenyl substituted with G, C<sub>1-10</sub> alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G.

G is selected independently from the group consisting of amidino (-C(=NH)NH<sub>2</sub>), guanidino (-NHC(=NH)NH<sub>2</sub>), isothiureido (-S-C(=NH)NH<sub>2</sub>), amino, and C<sub>1-6</sub> alkylamino;

R<sub>3</sub> is selected independently from the group consisting of R<sub>5</sub>, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>6</sub>, and CONR<sub>6</sub>R<sub>7</sub>;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with an attached

phenyl group substituted with K, C<sub>1-10</sub> alkyl with a naphthyl group attached to the C<sub>1-10</sub> alkyl, and C<sub>1-10</sub> alkyl with an attached naphthyl group substituted with K.

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group trisubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, C<sub>1-10</sub> alkyl with an attached 4-pyridyl group, C<sub>1-10</sub> alkyl with an attached 3-pyridyl group, C<sub>1-10</sub> alkyl with an attached 2-pyridyl group, C<sub>1-10</sub> alkyl with an attached cyclohexyl group, -NH-CH<sub>2</sub>CH<sub>2</sub>-(4-hydroxyphenyl), -NH-CH<sub>2</sub>CH<sub>2</sub>-(3-indolyl), C<sub>1-10</sub> alkyl with an attached 2-furyl group, C<sub>1-10</sub> alkyl with an attached 3-furyl group, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl;

R<sub>8</sub> and R<sub>9</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, nitrophenyl, and C<sub>1-10</sub> alkyl substituted with phenyl.

7. A compound according to claim 5 wherein

X is selected from the group consisting of H, C<sub>1-10</sub> alkyl, phenyl, naphthyl, C<sub>1-10</sub> alkyl with an attached phenyl group, C<sub>1-10</sub> alkyl with an attached naphthyl group, and C<sub>1-10</sub> alkyl substituted with CO<sub>2</sub>H;

5 AA<sub>1</sub>, AA<sub>2</sub>, and AA<sub>3</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine,  
10 phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>5</sub> is selected independently from the group consisting of C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl;

15 AA<sub>4</sub> are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine,  
20 norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH<sub>2</sub>-CH(CH<sub>2</sub>-1-naphthyl)-CO<sub>2</sub>H, and NH<sub>2</sub>-CH(CH<sub>2</sub>-2-naphthyl)-CO<sub>2</sub>H;

R<sub>6</sub> and R<sub>7</sub> are selected independently from the group consisting of H, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with a phenyl group attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, phenyl substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group substituted with K, C<sub>1-10</sub> alkyl with an attached phenyl group disubstituted with K, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and substituted with K on the phenyl group, C<sub>1-10</sub> alkyl with two phenyl groups attached to the C<sub>1-10</sub> alkyl and disubstituted with K on the phenyl groups, C<sub>3-20</sub> cyclized alkyl with an attached phenyl group substituted with K, C<sub>1-20</sub> alkyl with an OH group attached to the alkyl, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, and C<sub>1-5</sub> alkyl with an attached phenyl and a hydroxyl attached to the C<sub>1-5</sub> alkyl.



8. The compound of claim 1 wherein epoxide carbons 2 and 3 have stereochemistry selected from the group consisting of *cis*; *trans*; *R,R*; *S,S*; *R,S*; and *S,R*.
9. The composition of claim 1, wherein said composition is substantially optically pure.
- 5 10. The composition of claim 1, wherein said composition is racemic.
11. The composition of claim 9, wherein said composition substantially comprises a single optical isomer.

12. A compound selected from the group consisting of:

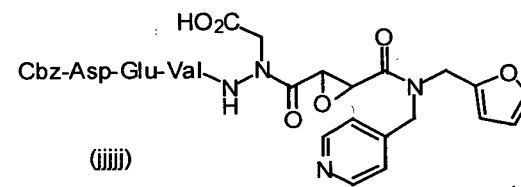
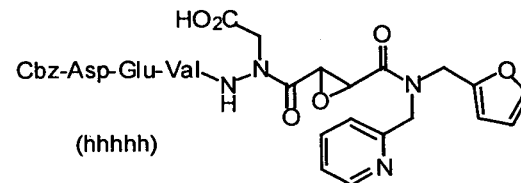
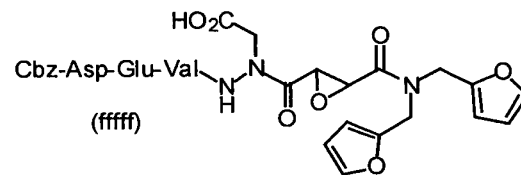
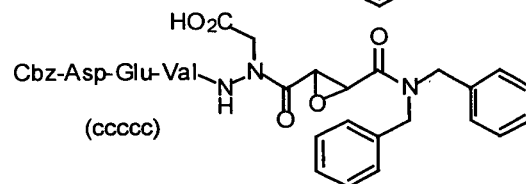
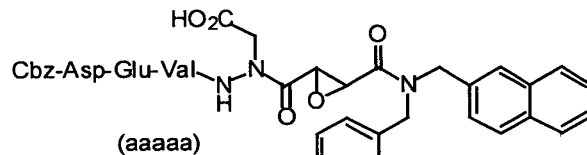
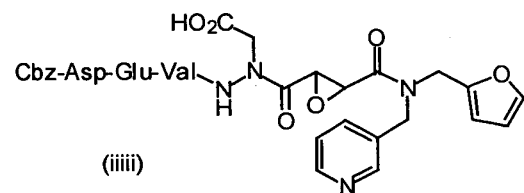
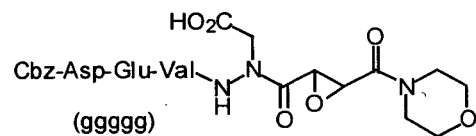
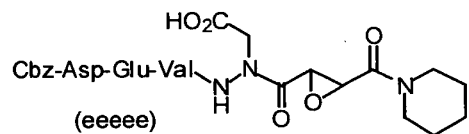
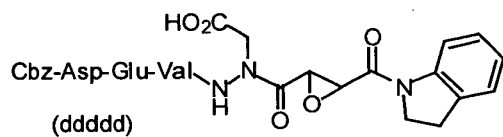
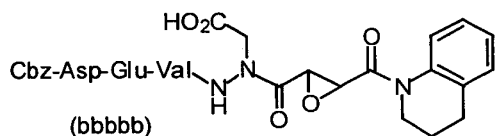
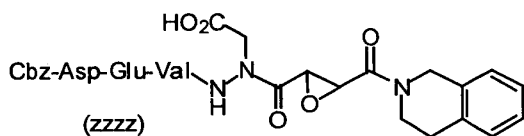
- (a) APhe-(*trans*)-EP-COOEt,
- (b) Cbz-APhe-(*trans*)-EP-COOEt,
- (c) Cbz-APhe-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- 5 (d) Cbz-ALeu-(*trans*)-EP-COOEt,
- (e) Cbz-AHph-(*trans*)-EP-COOEt,
- (f) Ac-AHph-(*trans*)-EP-COOEt,
- (g) Boc-Nva-AHph-(*trans*)-EP-COOEt,
- (h) Boc-Nle-AHph-(*trans*)-EP-COOEt,
- 10 (i) Boc-Nle-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (j) Boc-Nva-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (k) Boc-Abu-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (l) Boc-Ala-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (m) Boc-Np2-ALeu-(*trans*)-EP-COOEt,
- 15 (n) Suc-Np2-ALeu-(*trans*)-EP-COOEt,
- (o) Ac-Leu-ALeu-(*trans*)-EP-COOEt,
- (p) Ac-Leu-AHph-(*trans*)-EP-COOEt,
- (q) Nva-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph·TFA,
- (r) Nle-AHph-(*trans*)-EP-COOEt·TFA,
- 20 (s) Ala-AHph-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph·TFA,
- (t) Cbz-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,
- (u) Cbz-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,
- (v) Cbz-Leu-ALeu-(*trans*)-EP-COOEt,
- (w) Cbz-Leu-ALeu-(*cis*)-EP-COOEt,

- (x) Cbz-Phe-ALeu-(*trans*)-EP-COOEt,
- (y) Cbz-Phe-ALeu-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (z) Cbz-Phe-APhe-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (aa) Cbz-Leu-AAbu-(*trans*)-EP-COOEt,
- 5 (bb) Cbz-Leu-AAbu-(*trans*)-EP-COOH,
- (cc) Cbz-Leu-AHph-(*cis*)-EP-COOEt,
- (dd) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOEt,
- (ee) Cbz-Leu-AHph-(2*R*,3*R*)-EP-COOEt,
- (ff) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOH,
- 10 (gg) Cbz-Leu-Leu-ALeu-(*trans*)-EP-COOEt,
- (hh) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,
- (ii) Cbz-Leu-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,
- (jj) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOH,
- (kk) Cbz-Leu-Phe-AGln-(2*S*,3*S*)-EP-COOEt,
- 15 (ll) Cbz-Leu-Phe-AGln-(2*R*,3*R*)-EP-COOEt,
- (mm) Cbz-Leu-Phe-AGln-(*trans*)-EP-COOEt,
- (nn) Cbz-Ala-Ala-AAsn-(*trans*)-EP-COOEt,
- (oo) Cbz-Ala-Ala-AAsn-(2*S*,3*S*)-EP-COOEt,
- (pp) Cbz-Ala-Ala-AAsn-(2*R*,3*R*)-EP-COOEt,
- 20 (qq) Cbz-Ala-Ala-AAsn-(*cis*)-EP-COOEt,
- (rr) Cbz-Ala-Ala-AAsn-(*trans*)-EP-COOCH<sub>2</sub>Ph,
- (ss) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-COOCH<sub>2</sub>Ph,
- (tt) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-COOCH<sub>2</sub>CH<sub>2</sub>Ph,
- (uu) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CONHCH<sub>2</sub>Ph,

- (vv) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (ww) Cbz-Ala-Ala-AAsn-(*R,R*)-EP-CO-Ala-NH-Bzl,
- (xx) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(*n*Bu)<sub>2</sub>,
- (yy) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- 5 (zz) Cbz-Ala-Ala-AAsn-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (aaa) Cbz-Ala-Ala-AAsn-(*trans*)-EP-Ph-4-Cl,
- (bbb) Cbz-Ala-Ala-NHN(CH<sub>2</sub>COOEt)-(*trans*)-EP-COOEt,
- (ccc) PhPr-Val-Ala-AAsp-(*2R,3R*)-EP-COOCH<sub>2</sub>Ph,
- (ddd) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-COOCH<sub>2</sub>Ph,
- 10 (eee) PhPr-Val-Ala-AAsp-(*trans*)-EP-COOCH<sub>2</sub>Ph,
- (fff) PhPr-Val-Ala-AAsp-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (ggg) Cbz-Ile-Glu-Thr-AAsp-(*2S,3S*)-EP-COOEt,
- (hhh) Cbz-Ile-Glu-Thr-AAsp-(*2R,3R*)-EP-COOEt,
- (iii) Cbz-Leu-Glu-Thr-AAsp-(*2S,3S*)-EP-COOEt,
- 15 (jjj) Cbz-Leu-Glu-Thr-AAsp-(*2R,3R*)-EP-COOEt,
- (kkk) Cbz-Asp-Glu-Val-AAsp-(*2S,3S*)-EP-COOEt,
- (lll) Cbz-Asp-Glu-Val-AAsp-(*2R,3R*)-EP-COOEt,
- (mmm) Cbz-Glu-Val-AAsp-(*2S,3S*)-EP-COOEt,
- (nnn) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>,
- 20 (ooo) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,
- (ppp) Cbz-Leu-Glu-Thr-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,
- (qqq) Cbz-Ile-Glu-Thr-AAsp-(*2S,3S*)-EP-CON(CH<sub>2</sub>Ph)<sub>2</sub>,
- (rrr) Cbz-Leu-Glu-Thr-AAsp-(*2S,3S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (sss) PhPr-Val-Ala-AAsp-(*2S,3S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,

- (ttt) Cbz-Ile-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH<sub>3</sub>)CH<sub>2</sub>Ph,
- (uuu) PhPr-Leu-ALys-(2*S*,3*S*)-EP-CO<sub>2</sub>Et,
- (vvv) PhPr-Leu-AOrn-(2*S*,3*S*)-EP-CO<sub>2</sub>Et,
- (www) Cbz-Val-AAsp-(*S*,*S*)-EP-COOEt,
- 5 (xxx) Cbz-Val-AAsp-(*S*,*S*)-EP-COOH,
- (yyy) Cbz-Val-AAsp-(*trans*)-EP-CH<sub>2</sub>CH<sub>2</sub>Ph,
- (zzz) Cbz-Val-AAsp-(*trans*)-EP-Ph-4-Cl,
- (aaaa) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-COOEt,
- (bbbb) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-COOEt,
- 10 (cccc) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-COOCH<sub>2</sub>CH<sub>2</sub>Ph,
- (dddd) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH<sub>3</sub>,
- (eeee) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>Ph,
- (ffff) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>Ph,
- (gggg) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- 15 (hhhh) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,
- (iiii) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CONHCH<sub>2</sub>CH(OH)Ph,
- (jjjj) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CONHCH<sub>2</sub>CH(OH)Ph,
- (kkkk) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,
- (llll) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,
- 20 (mmmm) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Leu-NH<sub>2</sub>,
- (nnnn) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CO-Leu-NH<sub>2</sub>,
- (oooo) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Phe-NH<sub>2</sub>,
- (pppp) PhPr-Val-Ala-AAsp-(*R*,*R*)-EP-CO-Phe-NH<sub>2</sub>,
- (qqqq) PhPr-Val-Ala-AAsp-(*S*,*S*)-EP-CO-Tyr-NH<sub>2</sub>,

- (rrrr) Cbz-Glu-Val-AAsp-(*R,R*)-EP-CO-Phe-NH<sub>2</sub>,  
 (ssss) Cbz-Glu-Val-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,  
 (tttt) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-CO-Phe-NH<sub>2</sub>,  
 (uuuu) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>Ph,  
 5 (vvvv) Cbz-Asp-Glu-Val-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,  
 (wwww) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-CONHCH<sub>2</sub>CH<sub>2</sub>Ph,  
 (xxxx) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,  
 (yyyy) Cbz-Ile-Glu-Thr-AAsp-(*S,S*)-EP-CO-Ala-NHCH<sub>2</sub>Ph,



(kkkkk) Cbz-Leu-Glu-Thr-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,

(lllll) Cbz-Ile-Glu-Thr-AAsp-(*S,S*)-EP-COOCH<sub>2</sub>Ph,

(mmmmm) Cbz-Ile-Glu-Thr-AAsp-(*R,R*)-EP-COOCH<sub>2</sub>Ph,

(nnnnn) Cbz-Ile-Glu-Thr-AAsp-(*R,R*)-EP-CONHCH<sub>2</sub>Ph, and a pharmaceutically

5 acceptable salt, pharmaceutically acceptable derivative, or combination thereof.

13. A compound having the chemical formula of Cbz-Asp-Glu-Val-AAsp-EP-COOCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>.
14. A compound according having the chemical formula Cbz-Ala-Ala-AAsn-EP-COOEt.
- 5 15. A pharmaceutical composition, comprising an effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.
16. A method of inhibiting a cysteine protease comprising the step of contacting said cysteine protease with a compound according to claim 1.
17. The method of claim 16 wherein said contacting occurs *in vivo*.
- 10 18. The method of claim 16 wherein said contacting occurs *in vitro*.
19. The method according to claim 16 wherein said cysteine protease comprises a caspase.
20. The method according to claim 16 wherein said cysteine protease comprises legumain.
- 15 21. The method according to claim 16 wherein said cysteine protease comprises a member of the clan CD of cysteine proteases.
22. The method according to claim 16 wherein said cysteine protease comprises a member of the clan CA of cysteine proteases.
23. A method of preparing a compound comprising of the step of coupling an  
20 epoxide with a substituted hydrazide.
24. The method of claim 23 wherein said epoxide is an epoxysuccinate.
25. The method of claim 23 wherein said epoxide is an oxirane carboxylic acid.
26. The method of claim 23 wherein said coupling comprises the step of reacting the epoxide, substituted hydrazide, EDC, and HOBt.



27. The method of claim 23 wherein said coupling comprises the step of:  
reacting the epoxide, substituted hydrazide, NMM, and IBCF.
28. A compound comprising an aza-amino acid and an epoxide.
29. The compound of claim 28, wherein the compound comprises P1 and P2  
5 residues.
30. The compound of claim 28, wherein the P1 or P2 residue comprises an aza-  
amino acid residue.
31. The compound of claim 29, wherein the P1 residue comprises an aza-amino  
acid residue having a basic functional group.
- 10 32. The compound of claim 29, wherein the P2 residue comprises an amino acid  
residue having a hydrophobic functional group.
33. The compound of claim 29, wherein the P2 residue comprises an amino acid  
residue having a hydrophobic alkyl functional group.
34. The compound of claim 28, wherein said epoxide is coupled to said aza-amino  
15 acid.
35. The compound of claim 29 containing an anionic side chain at said P1 site.
36. The compound of claim 29 containing an aza-aspartic acid at the P1 site.
37. The compound of claim 29 containing an aza-asparagine at said P1 site.
38. The compound of claim 28, wherein said compound specifically inhibits  
20 cysteine proteases selected from the group consisting of clan CD and clan CA cysteine  
proteases.
39. The compound of claim 38, wherein said compound inhibits clan CD and clan  
CA cysteine proteases.
40. A neuroprotective composition comprising an aza-peptide epoxide.

41. A method of treating a neurodegenerative disorder comprising:  
administering an effective amount of an aza-peptide epoxide to a patient having  
symptoms of a neurodegenerative disorder.
42. The method of claim 41, wherein said aza-peptide epoxide inhibits a protease.
- 5 43. The method of claim 42, wherein said protease comprises a cysteine protease.
44. The method of claim 41, wherein said neurodegenerative disorder is selected  
from the group consisting of stroke, Alzheimer's disease, Parkinson's disease, multiple  
sclerosis, neuropathies, Huntington's disease, dentatorubropallidoluysian atrophy,  
spinocerebellar atrophy type 3, spinal bulbar muscular atrophy, and myotrophic lateral  
10 sclerosis.
45. A method of modulating a host's immune system comprising administering to  
said host a composition comprising an aza-peptide epoxide in an amount sufficient to  
inhibit cleavage of an antigen in the host and reduce antigen peptides displayed on cell  
surfaces.
- 15 46. The method of claim 45, wherein said host is a mammal.
47. A method for treating inflammatory disease in a host comprising:  
administering to said host a composition comprising an aza-peptide epoxide in an  
amount sufficient to inhibit a cysteine protease.
48. The compound of claim 28, wherein the compound comprises P1, P2 and P3  
20 residues.
49. The compound of claim 28, wherein the compound comprises P1, P2, P3, and  
P4 residues.
50. The compound of claim 28, wherein the compound comprises P1, P2, P3, P4,  
and P1' residues.